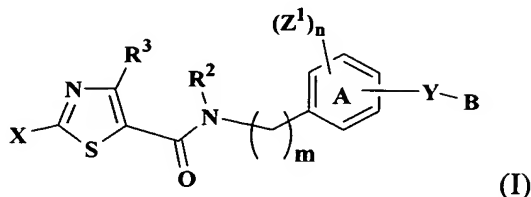


CLAIMS

We claim:

1. A compound having the formula (I),



enantiomers, diastereomers, pharmaceutically-acceptable salts, and solvates thereof, wherein,

ring A is phenyl or pyridyl;

- 10 Y is $-C(=O)NR^1-$ or $-NR^1C(=O)-$ and is attached to the phenyl or pyridyl ring in the meta or para position;

R^1 is

(a) hydrogen, or

- 15 (b) alkyl, cycloalkyl, aryl(alkyl), (heteroaryl)alkyl, (heterocyclo)alkyl or (cycloalkyl)alkyl, any of which may be optionally substituted as valence allows with Z^{1a} , Z^{2a} and up to two Z^{3a} ;

B is

(a) hydrogen or hydroxy, or

- 20 (b) alkyl, cycloalkyl, (cycloalkyl)alkyl, alkenyl, alkoxy, (alkoxy)alkyl, aryl, (aryl)alkyl, heteroaryl, (heteroaryl)alkyl, heterocyclo or (heterocyclo)alkyl, any of which may be optionally substituted as valence allows with Z^{1b} , Z^{2b} and up to two Z^{3b} ;

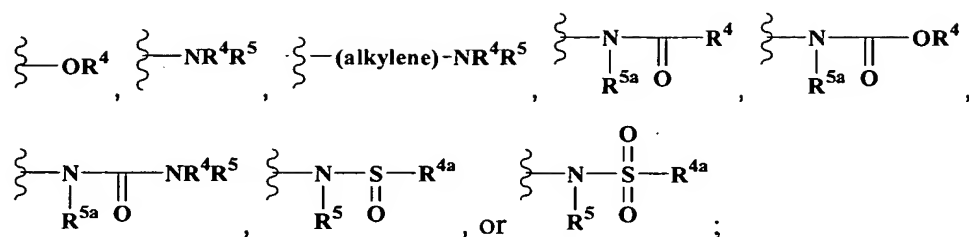
R^2 is

(a) hydrogen, or

- 25 (b) alkyl, cycloalkyl, aryl(alkyl), (heteroaryl)alkyl, (heterocyclo)alkyl, or (cycloalkyl)alkyl, any of which may be optionally substituted as valence allows with Z^{1c} , Z^{2c} and up to two Z^{3c} ;

R^3 is hydrogen, alkyl, haloalkyl, alkoxy, (alkoxy)alkyl, hydroxy, (hydroxy)alkyl, halogen, cyano, or $-NR^6R^7$;

X is



R^4 , R^5 and R^{5a} are independently

- 5 (a) hydrogen, or
 (b) alkyl, cycloalkyl, (cycloalkyl)alkyl, (alkoxy)alkyl, alkenyl, aryl, (aryl)alkyl, heteroaryl, (heteroaryl)alkyl, heterocyclo or (heterocyclo)alkyl, any of which may be optionally substituted as valence allows with Z^{1d} , Z^{2d} and up to two Z^{3d} ; or

- 10 (c) R^4 and R^5 together with the nitrogen atom to which they are bonded may optionally combine to form a heterocyclo ring which may be optionally substituted as valence allows with Z^{1d} , Z^{2d} and up to two Z^{3d} ;

R^{4a} is alkyl, cycloalkyl, (cycloalkyl)alkyl, alkoxy, (alkoxy)alkyl, alkenyl, aryl, (aryl)alkyl, heteroaryl, (heteroaryl)alkyl, heterocyclo, or (heterocyclo)alkyl, any of which may be optionally substituted as valence allows with Z^{1d} , Z^{2d} and up to two Z^{3d} ;

R^6 and R^7 are independently

- (a) hydrogen or
 (b) alkyl, cycloalkyl, (cycloalkyl)alkyl, aryl, (aryl)alkyl, heteroaryl, (heteroaryl)alkyl, heterocyclo or (heterocyclo)alkyl, any of which may be optionally substituted as valence allows with Z^{1e} , Z^{2e} and up to two Z^{3e} ;

Z^{1-1e} , Z^{2a-2e} , and Z^{3a-3e} are optional substituents independently selected from

- (1) R^{10} , where R^{10} is
- 25 (i) alkyl, (hydroxy)alkyl, (alkoxy)alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, aryl, (aryl)alkyl, heterocyclo, (heterocyclo)alkyl, heteroaryl, or (heteroaryl)alkyl;
- (ii) a group (i) which is itself substituted by one to four of the same or different groups (i); or

(iii) a group (i) or (ii) which is independently substituted by one to four of the following groups (2) to (12);

- (2) $-OR^{11}$,
- (3) $-SR^{11}$,
- 5 (4) $-C(O)_tR^{11}$ or $-O-C(O)R^{11}$;
- (5) $-SO_3H$, $-S(O)_tR^{16}$, or $S(O)_tN(R^{11})R^{12}$,
- (6) halo,
- (7) cyano,
- (8) nitro,
- 10 (9) $-U^1-NR^{12}R^{13}$,
- (10) $-U^1-N(R^{11})-U^2-NR^{12}R^{13}$,
- (11) $-U^1-N(R^{14})-U^2-R^{11}$,
- (12) oxo;

U^1 and U^2 are each independently

- 15 (1) a single bond,
- (2) $-U^3-S(O)_t-U^4-$,
- (3) $-U^3-C(O)-U^4-$,
- (4) $-U^3-C(S)-U^4-$,
- (5) $-U^3-O-U^4-$,
- 20 (6) $-U^3-S-U^4-$,
- (7) $-U^3-O-C(O)-U^4-$,
- (8) $-U^3-C(O)-O-U^4-$, or
- (9) $-U^3-C(=NR^{15})-U^4-$;

U^3 and U^4 are each independently

- 25 (1) a single bond,
- (2) alkylene,
- (3) alkenylene, or
- (4) alkynylene;

R^{11} , R^{12} , R^{13} , R^{14} , R^{15} and R^{16}

- 30 (4) are each independently hydrogen, alkyl, (hydroxy)alkyl, (alkoxy)alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, aryl, (aryl)alkyl, heterocyclo, (heterocylco)alkyl, heteroaryl, or (heteroaryl)alkyl, any of

which is unsubstituted or substituted with one to four groups listed below for R^{20} ; except R^{16} is not hydrogen; or

- (5) R^{12} and R^{13} may be taken together to form a 3- to 8-membered saturated or unsaturated ring together with the atoms to which they are attached, which ring is unsubstituted or substituted with one to four groups listed below for R^{20} , or

- (6) R^{12} and R^{13} together with the nitrogen atom to which they are attached may combine to form a group $-N=C R^{17}R^{18}$ where R^{17} and R^{18} are each independently hydrogen, alkyl, or alkyl substituted with a group R^{20} ;

- R^{20} is alkyl, halogen, cyano, hydroxy, $-O(\text{alkyl})$, SH, $-S(\text{alkyl})$, amino, alkylamino, haloalkyl, or a lower alkyl substituted with cyano, hydroxy, or alkoxy;

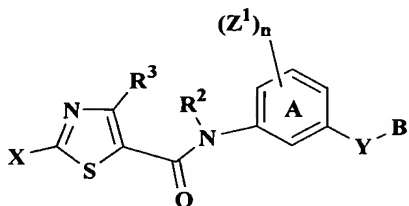
m is 0 or 1;

n is 0, 1, 2, or 3; and

t is 1 or 2.

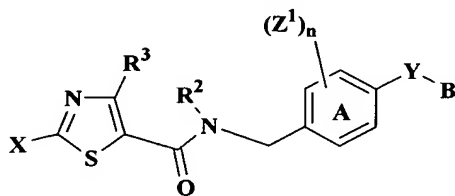
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2. A compound according to claim 1 having the formula,



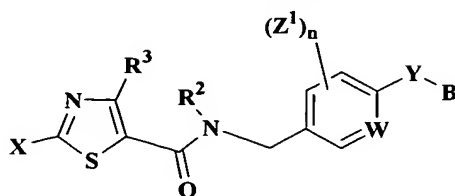
wherein A is phenyl.

- 20 3. A compound according to claim 1 having the formula,



wherein A is phenyl.

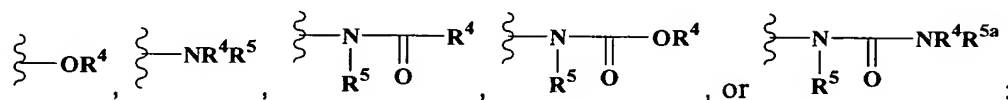
4. A compound according to claim 1 having the formula,



wherein W is N, CH, or C(Z²), and Z² is selected from Z¹.

5. A compound according to claim 1 wherein

X is



R¹ is hydrogen or alkyl;

B is

- 10 (a) hydrogen, or

(b) alkyl, cycloalkyl, aryl, heteroaryl or heterocyclo any of which may be optionally substituted as valence allows with Z¹ᵇ, Z²ᵇ and up to two Z³ᵇ;

R² is hydrogen or alkyl;

R³ is hydrogen, alkyl, haloalkyl, alkoxy, haloalkoxy, halogen, or cyano;

- 15 R⁴ is alkyl, (alkoxy)alkyl, alkenyl, cylcoalkyl, (cylcoalkyl)alkyl, aryl, (aryl)alkyl, heteroaryl, (heteroaryl)alkyl, heterocyclo, and (heterocyclo)alkyl, any of which may be optionally substituted as valence allows with Z¹ᵈ, Z²ᵈ and up to two Z³ᵈ;

- 20 R⁴ᵃ is alkyl, alkoxy, (alkoxy)alkyl, alkenyl, cylcoalkyl, (cylcoalkyl)alkyl, aryl, (aryl)alkyl, heteroaryl, (heteroaryl)alkyl, heterocyclo, and (heterocyclo)alkyl, any of which may be optionally substituted as valence allows with Z¹ᵈ, Z²ᵈ and up to two Z³ᵈ;

R⁵ is hydrogen or alkyl; and

- 25 Z¹, Z¹ᵇ, Z¹ᵈ, Z²ᵇ, Z²ᵈ, Z³ᵇ and Z³ᵈ are optional substituents independently selected from halogen, cyano, alkyl, haloalkyl, alkenyl, aryl, (aryl)alkyl, -OR¹¹, -SR¹¹, -S(O)ᵣR¹⁶, -C(O)ᵣR¹¹, -NR¹²R¹³, -NR¹⁴C(O)OR¹¹, -NR¹⁴C(O)R¹¹, -C(O)NR¹²R¹³, -NR¹⁴S(O)₂R¹¹, -SO₂NR¹¹R¹², and -C(O)-(alkylene)NR¹²R¹³.

6. A compound according to claim 5 wherein

R^1 is hydrogen;

B is

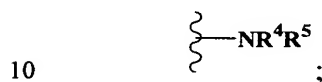
(a) hydrogen, or

5 (b) alkyl, cycloalkyl, or heteroaryl, any of which may be optionally substituted as valence allows with Z^{1b} , Z^{2b} and up to two Z^{3b} ;

R^2 is hydrogen;

R^3 is hydrogen;

X is



R^5 is hydrogen;

Z^1 , Z^{1b} , Z^{1d} , Z^{2b} , Z^{2d} , Z^{3b} and Z^{3d} are optional substituents independently selected from halogen, cyano, alkyl, hydroxy, alkoxy and haloalkoxy; and

n is 0 or 1.

15

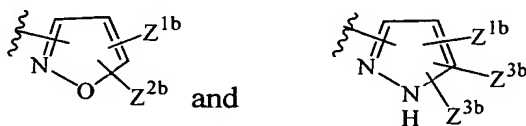
7. A compound according to claim 1 where

B is

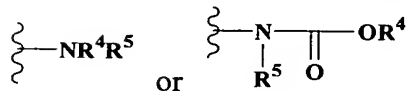
(a) hydrogen,

(b) methyl, ethyl or cyclopropyl; or

20 (b) heteroaryl selected from



8. A compound according to claim 1 where X is



25 9. A compound according to claim 1 where X is $-OR^4$.

10. A compound according to claim 1 where R^4 is alkyl, cycloalkyl, aryl, (aryl)alkyl, heterocyclo, (heterocylco)alkyl, heteroaryl, or (heteroaryl)alkyl, any of which may be optionally independently substituted as valence allows with one to three groups selected from alkyl, alkoxy, halogen, cyano, haloalkyl, and haloalkoxy.

5

11. A compound according to claim 1 where R^1 , R^2 and R^3 are each hydrogen.

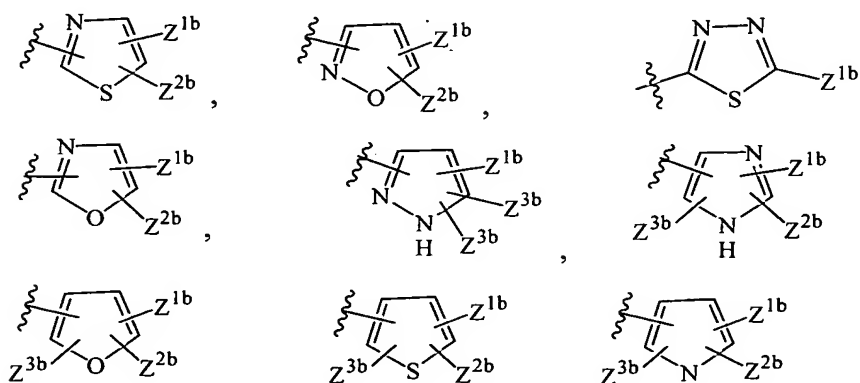
12. A compound according to claim 1 where R^4 is alkyl, (alkoxy)alkyl or cycloalkyl.

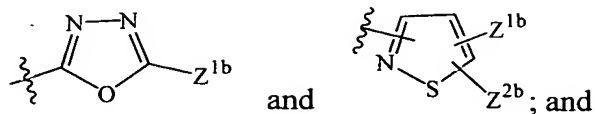
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13. A compound according to claim 1 where R^5 is hydrogen.

14. A compound according to claim 1 where Z^1 is halogen or alkyl.

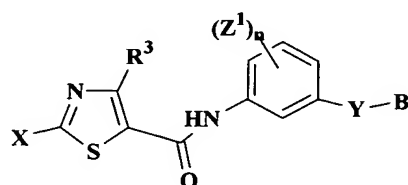
- 15 15. A compound according to claim 1 where B is
- (a) phenyl optionally independently substituted as valence allows with Z^{1b} , Z^{2b} and/or Z^{3b} ;
 - (b) cycloalkyl optionally independently substituted as valence allows with keto, Z^{1b} , Z^{2b} and/or Z^{3b} ; or
 - 20 (c) heteroaryl selected from





Z^{1b} , Z^{2b} and Z^{3b} are independently selected from alkyl, haloalkyl, hydroxy, alkoxy, haloalkoxy, halogen, cyano, $-NR^{12}R^{13}$, phenyl, benzyl, phenyloxy, or benzyloxy.

5 16. A compound having the formula,



Y is $-C(=O)NR^1-$ or $-NR^1C(=O)-$;

R^1 is hydrogen or lower alkyl;

10 B is

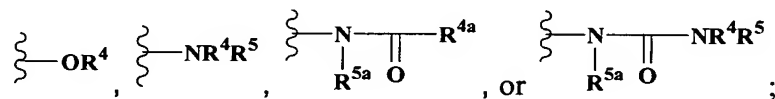
(a) hydrogen, or

(b) alkyl, cycloalkyl, or heteroaryl, any of which may be optionally substituted with Z^{1b} , Z^{2b} and up to two Z^{3b} ;

R^3 is hydrogen, alkyl, perfluoromethyl, methoxy, ethoxy, hydroxy, halogen, cyano, amino, or alkylamino;

15

X is



R^4 is

20

(a) hydrogen, or

(b) alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or heterocyclo, any of which may be optionally substituted with Z^{1d} , Z^{2d} and up to two Z^{3d} ;

R^{4a} is

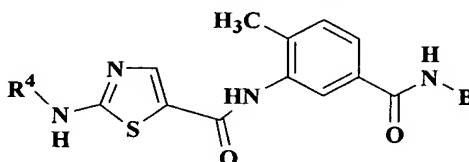
(a) hydrogen, or

(b) alkyl, alkoxy, cycloalkyl, alkenyl, aryl, heteroaryl, or heterocyclo any of which may be optionally substituted with Z^{1d} , Z^{2d} and up to two Z^{3d} ; R^5 and R^{5a} are hydrogen, alkyl or substituted alkyl; or alternatively, R^4 and R^5 together may form heterocyclo;

- 5 Z^1 , Z^{1b} , Z^{2b} , Z^{3b} , Z^{1d} , Z^{2d} and Z^{3d} are selected from halogen, alkyl, substituted alkyl, haloalkyl, haloalkoxy, cyano, amino, alkylamino, hydroxy, alkoxy, SH, alkylthio; cycloalkyl, heterocyclo, aryl, and heteroaryl; and n is 0, 1 or 2.

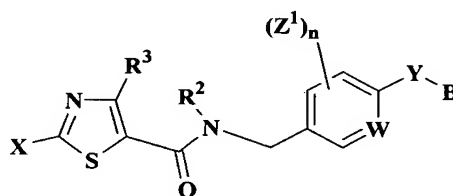
- 10 17. A compound according to claim 16 wherein R^4 is selected from C_{1-6} alkyl and C_{3-7} cycloalkyl, either of which is optionally substituted with hydroxy or $-O(C_{1-4}$ alkyl); B is hydrogen, lower alkyl, or cyclopropyl; Z^1 is methyl or halogen; and
15 n is 1.

18. A compound according to claim 17 having the formula,



20

19. A compound having the formula



wherein W is N, CH, or $C(Z^2)$;

Y is $-C(=O)NR^1-$ or $-NR^1C(=O)-$;

- 25 R^1 is hydrogen or lower alkyl;

R^2 is hydrogen or lower alkyl;

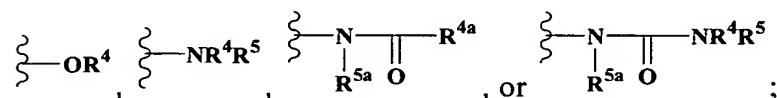
B is

(a) hydrogen, or

(b) alkyl, cycloalkyl, or heteroaryl, any of which may be optionally substituted with Z^{1b} , Z^{2b} and Z^{3b} ;

R^3 is hydrogen, alkyl, perfluoromethyl, methoxy, ethoxy, hydroxy, halogen, cyano, amino, or alkylamino;

X is



R^4 is

(a) hydrogen, or

(b) alkyl, cycloalkyl, alkenyl, aryl, heteroaryl, or heterocyclo any of which may be optionally substituted with Z^{1d} , Z^{2d} and up to two Z^{3d} ;

R^{4a} is

(a) hydrogen, or

(b) alkyl, alkoxy, cycloalkyl, alkenyl, aryl, heteroaryl, or heterocyclo any of which may be optionally substituted with Z^{1d} , Z^{2d} and up to two Z^{3d} ;

R^5 and R^{5a} are hydrogen, alkyl or substituted alkyl; or alternatively, R^4 and R^5 together may form heterocyclo;

Z^1 , Z^{1b} , Z^2 , Z^{2b} , Z^{3b} , Z^{1d} , Z^{2d} and Z^{3d} are selected from halogen, alkyl, substituted alkyl,

haloalkyl, haloalkoxy, cyano, amino, alkylamino, hydroxy, alkoxy, SH, alkylthio; cycloalkyl, heterocyclo, aryl, and heteroaryl; and

n is 0, 1 or 2.

20. A compound according to claim 19 wherein

R^4 is selected from C_{1-6} alkyl and C_{3-7} cycloalkyl, either of which is optionally substituted with hydroxy or $-O(C_{1-4}$ alkyl);

B is hydrogen, lower alkyl, or cyclopropyl;

Z^1 is methyl or halogen; and

n is 1.